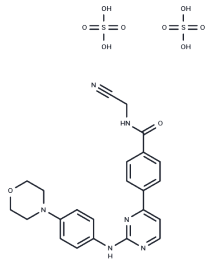
Data Sheet (Cat.No.T15038)

TargetMol

Momelotinib sulfate

Chemical Properties



CAS No. : 1056636-06-6

Formula: C23H26N6O10S2

Molecular Weight: 610.62

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Momelotinib sulfate is an ATP-competitive JAK1/JAK2 inhibitor (IC50: 11 nM/18 nM). It has 10-fold selectivity versus JAK3.
Targets(IC50)	JAK
In vitro	Momelotinib sulfate inhibits growth of Ba/F3-JAK2V617F and Ba/F3-MPLW515L cells (IC50: 200 nM) or human erythroleukemia (HEL) cells (IC50: 1.5 μM). However, it has considerably less activity against BCR-ABL harboring K562 cells (IC50=58 μM) and FLT3 mutation harboring MV4-11 cells (IC50: 3 μM). Proliferation of parental Ba/F3 cells (Ba/F3-wt) stimulated with IL-3 is inhibited (IC50: 1.4 μM). This is same as the established role of IL-3-dependent signaling in the parental cell line [1].
In vivo	Administering Momelotinib sulfate at doses of 50 and 100 mg/kg had minimal impact on peripheral blood counts over 8 weeks. The median peak plasma concentrations reached 7.1 μM and 32.1μM for the lower and higher doses, respectively, with a 2-hour half-life. Trough levels were observed at 10nM (25 mg/kg) and 900nM (50 mg/kg) 12 hours post-administration. The compound demonstrated high plasma concentrations after oral intake (Cmax= 40.4 μM; Tmax=4 h), suggesting high oral bioavailability. This is likely due to its low blood clearance rate (6.3 mL/min/kg), indicating reduced hepatic first-pass metabolism. In a study, by day 34 post-transplantation, white blood cell counts and hematocrit values in Balb/c mice exceeded the normal range by more than one standard deviation (SD). Subsequent treatment with 25 mg/kg or 50 mg/kg Momelotinib sulfate, or a vehicle control, initiated a rapid decrease in white cell counts within six days and a decrease in hematocrit after 20 days, showing the drug's efficacy in modulating hematologic parameters.

Solubility Information

Solubility	DMSO: 6.2 mg/mL (10.15 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6377 mL	8.1884 mL	16.3768 mL
5 mM	0.3275 mL	1.6377 mL	3.2754 mL
10 mM	0.1638 mL	0.8188 mL	1.6377 mL
50 mM	0.0328 mL	0.1638 mL	0.3275 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Pardanani A, et al. CYT387, a selective JAK1/JAK2 inhibitor: in vitro assessment of kinase selectivity and preclinical studies using cell lines and primary cells from polycythemia vera patients. Leukemia, 2009, 23(8), 1441-1445.
Tyner JW, et al. CYT387, a novel JAK2 inhibitor, induces hematologic responses and normalizes inflammatory cytokines in murine myeloproliferative neoplasms. Blood, 2010, 115(25), 5232-5240.
Burns CJ, et al. Phenylaminopyrimidines as inhibitors of Janus kinases (JAKs). Bioorg Med Chem Lett. 2009 Oct 15;19 (20):5887-92.